



wherein R¹, R², and R³ independently are selected from the group consisting of H, lower alkyl, substituted lower alkyl, cycloalkyl, substituted cycloalkyl, heterocycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, alkaryl, and a protecting group that can be removed under the conditions of peptide synthesis, provided that at least one of R¹, R², or R³ is H,

R⁵, R⁷, R⁸, R⁹ and R¹⁰ independently are selected from the group consisting of H, lower alkyl, substituted lower alkyl, aryl, and substituted aryl, and R⁸ and R⁹ together or R⁷ and R⁹ together may form a cycloalkyl or substituted cycloalkyl ring,

R⁴ and R⁶ together form a direct bond or are independently selected from the group consisting of lower alkyl, substituted lower alkyl, aryl, and substituted aryl, and wherein NR¹⁰ is located at the N-terminus of said peptide, or is located on an amino acid side chain of said peptide,

and then contacting said solution with a radionuclide and recovering the radiolabeled peptide.

25. A method according to claim 24, wherein R¹ is H.
26. A method according to claim 24, wherein R³ is H.
27. A method according to claim 24, wherein R⁴ is H.
28. A method according to claim 24, wherein R⁴ and R⁶ together form a direct bond.
29. A method according to claim 24, wherein R⁵ is H.

30. A method according to claim 24, wherein NR¹⁰ is located at the N-terminus of said peptide.

31. A method according to claim 24, wherein NR¹⁰ is located on an amino acid side chain of said peptide.

32. A method according to claim 25, wherein R² is lower alkyl or substituted or unsubstituted phenyl.

33. A method according to claim 32, wherein R² is H.

34. A method according to claim 33, wherein R³ is H.

35. A method according to claim 34, wherein R⁴ and R⁶ together form a direct bond.

36. A method according to claim 34, wherein R⁵ is H.

37. A method according to claim 36, wherein R⁷, R⁸, and R⁹ each are H.

38. A method according to claim 37, wherein R² is phenyl.

39. A method according to claim 37, wherein R² is methyl.

40. A method according to claim 24, wherein R⁸ and R⁹ are methyl.

41. A method according to claim 24, wherein said peptide is selected from the group consisting of:

(Chel) γ AbuNleDHF₄RWK-NH₂,

(Chel) γ AbuHSDAVFTDNYTRLRKQMAVKKYLNSILN-NH₂,

KPRRPYTDNYTRLRK(Chel)QMAVKKYLNSILN-NH₂,

(Chel) γ AbuVFTDNYTRLRKQMAVKKYLNSILN-NH₂,

(Chel) γ AbuYTRLRKQMAVKKYLSILN-NH₂,
 HSDAVFTDNYTRLRK(Chel)QMAVKKYLSILN-NH₂, <GHWSYK(Chel)LRPG-NH₂,
 <GHYSLK(Chel)WKPG-NH₂, AcNal_dCpa_dW_dSRK_d(Chel)LRPA_d-NH₂,
 (Chel) γ AbuSYSNleDHF_dRWK-NH₂, (Chel) γ AbuNleDHF_dRWK-NH₂,
 (Chel)NleDHF_dRWK-NH₂,
 Ac-HSDAVFTENYTKLRK(Chel)QNleAAKKYLNDLKKGGT-NH₂,
 (Chel) γ AbuHSDAVFTDNYTRLRKQMAVKKYLSILN-NH₂,
 (Chel) γ AbuVFTDNYTRLRKQMAVKKYLSILN-NH₂, (Chel) γ AbuNleDHF_dRWK-NH₂^c,
 <GHWSYK(Chel)LRPG-NH₂, <GHYSLK(Chel)WKPG-NH₂,
 AcNal_dCpa_dW_dSRK_d(Chel)LRPA_d-NH₂, <GHYSYLK(Chel)WKPG-NH₂,
 <GHYSLK(Chel)WKPG-NH₂, Nal_dCpa_dW_dSRK_d(Chel)WKPG-NH₂,
 <GHWSYK_d(Chel)LRPG-NH₂, AcNal_dCpa_dW_dSRK_d(Chel)LRPA_d-NH₂,
 AcNal_dCpa_dW_dSRK_d(Chel)LRPA_d-NH₂, AcNal_dCpa_dW_dSRK_d(Chel)LRPA_d-NH₂,
 <GHWSYK(Chel)LRPG-NH₂, AcK(Chel)F_dCFW_dKTCT-OH, AcK(Chel)DF_dCFW_dKTCT-
 OH, AcK(Chel)F_dCFW_dKTCT-ol, AcK(Chel)DF_dCFW_dKTCT-ol, (Chel)DF_dCFW_dKTCT-OH,
 K(Chel)DF_dCFW_dKTCT-ol, K(Chel)KKF_dCFW_dKTCT-ol, K(Chel)KDF_dCFW_dKTCT-OH,
 K(Chel)DSF_dCFW_dKTCT-OH, K(Chel)DF_dCFW_dKTCT-OH, K(Chel)DF_dCFW_dKTCD-NH₂,
 K(Chel)DF_dCFW_dKTCT-NH₂, K(Chel)KDF_dCFW_dKTCT-NH₂, AcK(Chel)F_dCFW_dKTCT-
 NH₂, K(Chel)F_dCFW_dKTCT-ol, and F_dCFW_dKTCTK(Chel)-NH₂,
 wherein (Chel) is said radiometal-binding moiety.

42. A method according to claim 24, wherein said peptide contains at least one disulfide bond.

43. A method according to claim 42, wherein said peptide is a polypeptide.

44. A method according to claim 42, wherein said peptide is a protein.